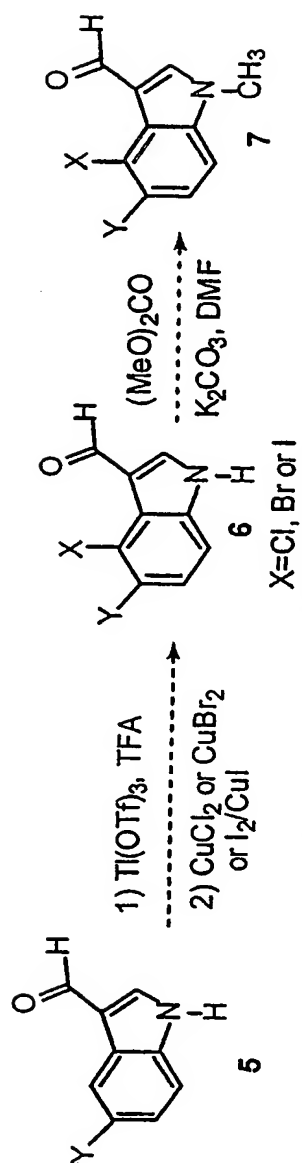


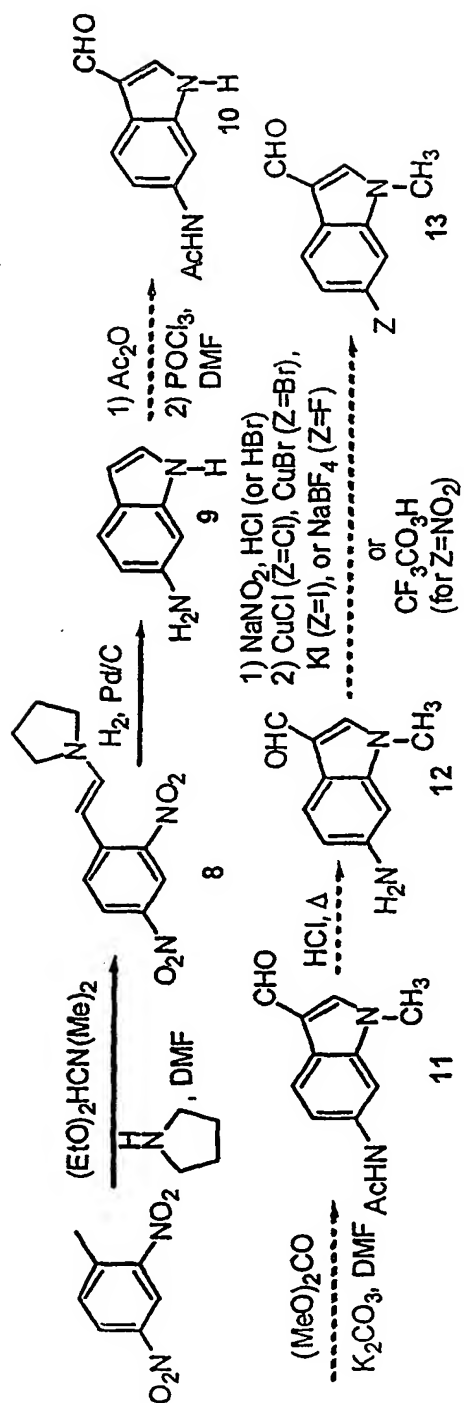
Scheme 1 Thiohydantoin derivative synthesis

Figure 1



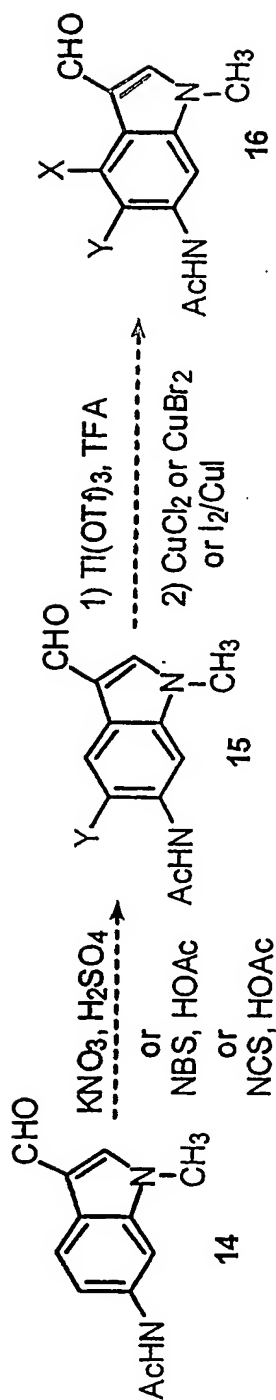
Scheme 2 Derivatization of Indole at C-4 and N-1

Figure 2



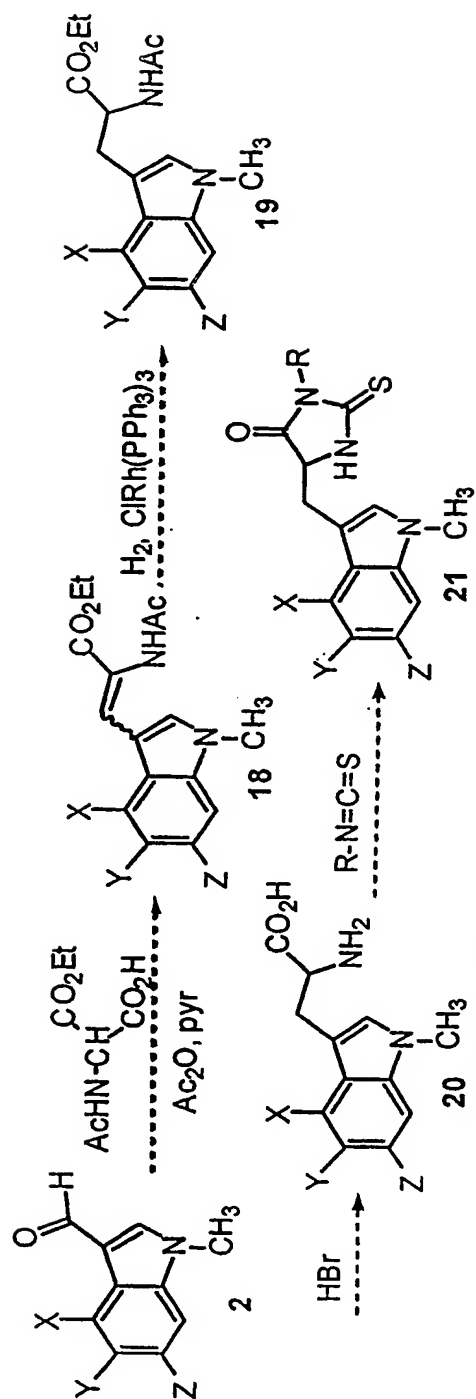
Scheme 3 Synthesis of C-6 Substituted Indoles

Figure 3



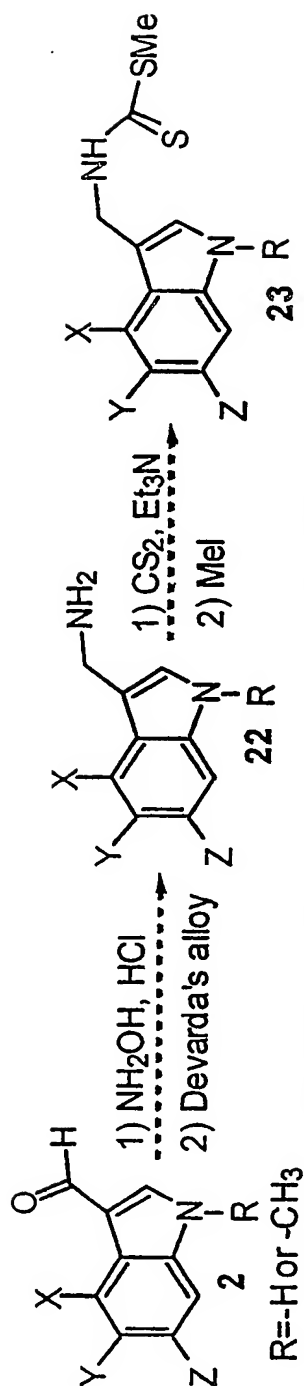
Scheme 4 Synthesis of C-4, C-5 and C-6 Trisubstituted Indoles

Figure 4



Scheme 5 Alternative Synthesis of Thiohydantoin Derivatives

Figure 5



Scheme 6 Brassinin Derivative Synthesis

Figure 6

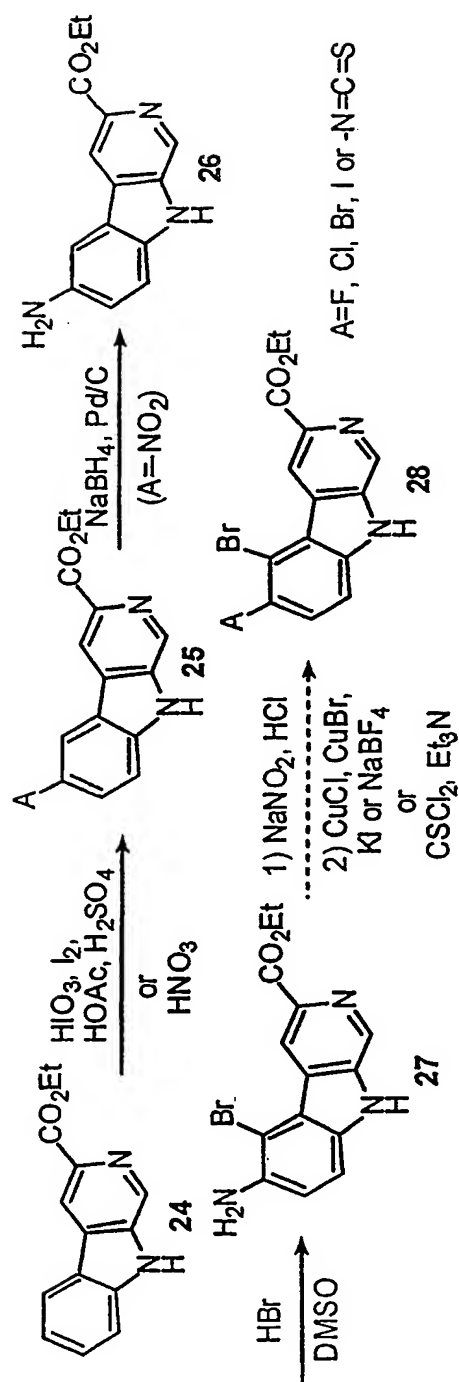
Scheme 7 Synthesis of C-5 and C-6 Substituted  $\beta$ -Carboline Derivatives

Figure 7

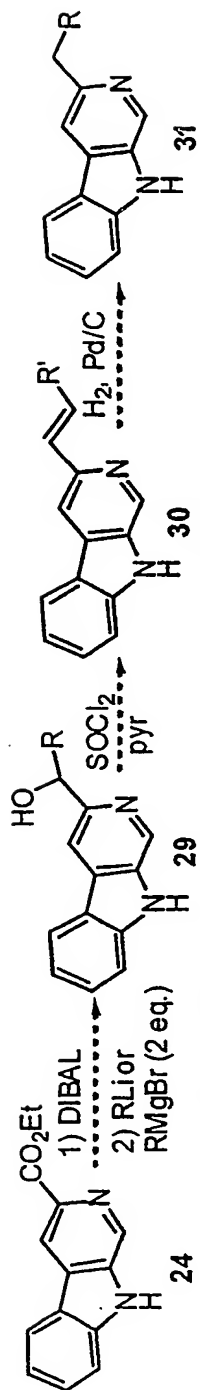
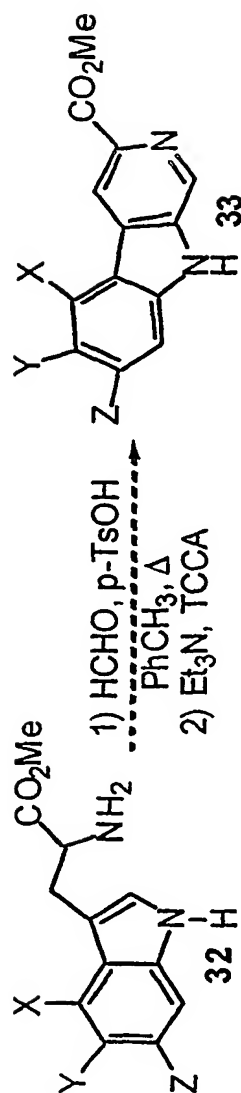
Scheme 8 Synthesis of C-3 Alkyl Substituted  $\beta$ -Carboline Derivatives

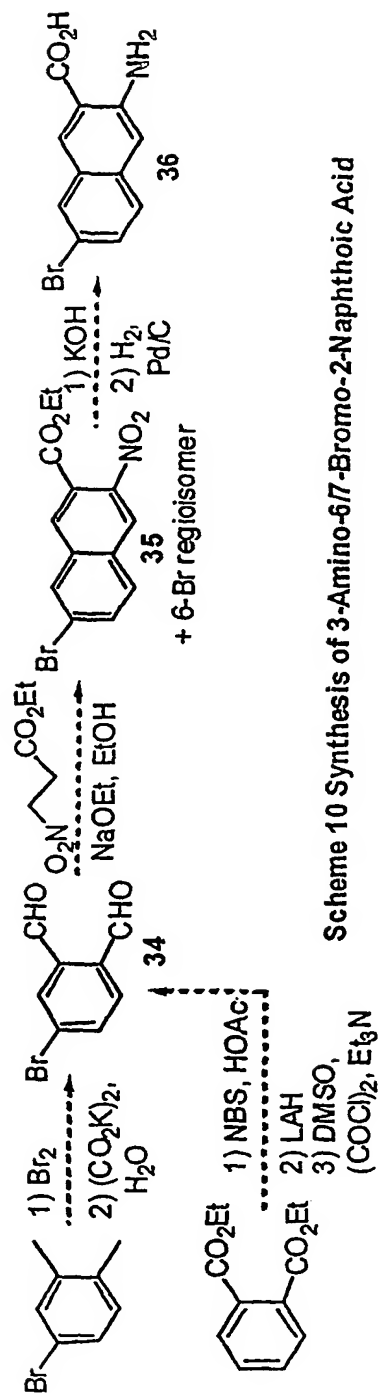
Figure 8





Scheme 9 Alternative Synthesis of  $\beta$ -Carboline Derivatives

Figure 9



Scheme 10 Synthesis of 3-Amino-6/7-Bromo-2-Naphthoic Acid

Figure 10

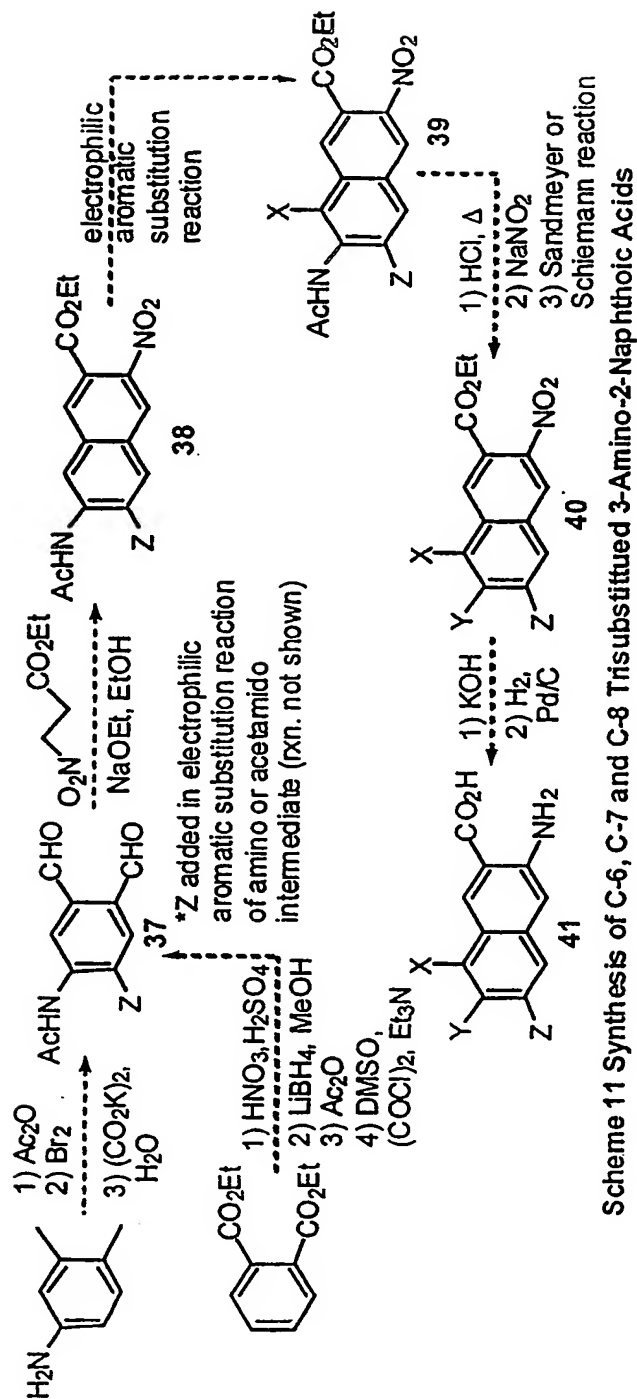
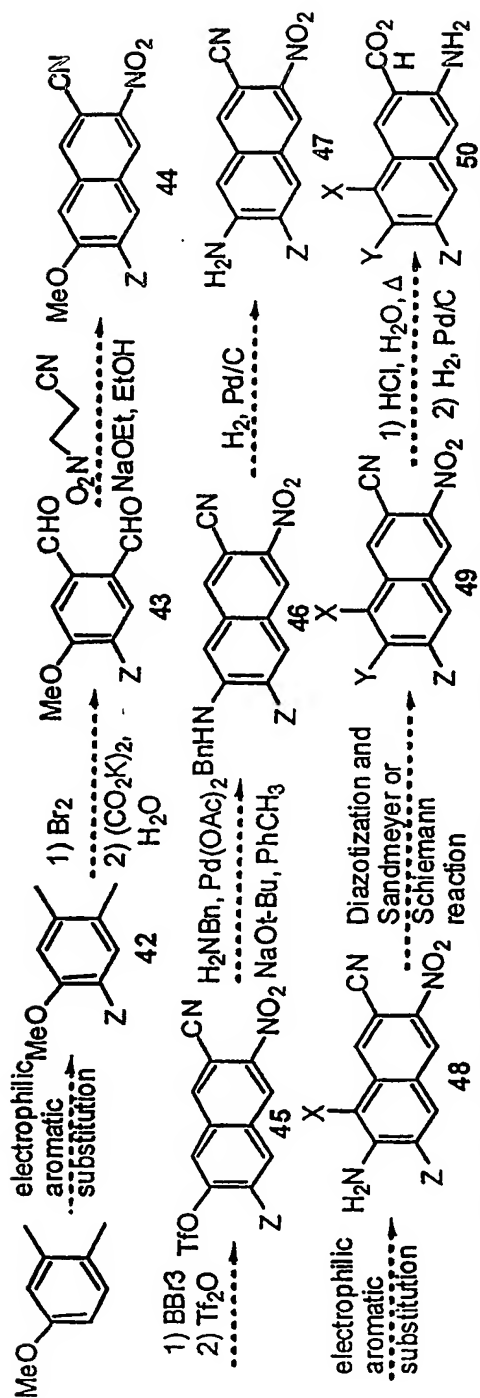


Figure 11

Scheme 11 Synthesis of C-6, C-7 and C-8 Trisubstituted 3-Amino-2-Naphthoic Acids



Scheme 12 Alternative Synthesis of C-6, C-7 and C-8 Trisubstituted 3-Amino-2-Naphthoic Acids

Figure 12

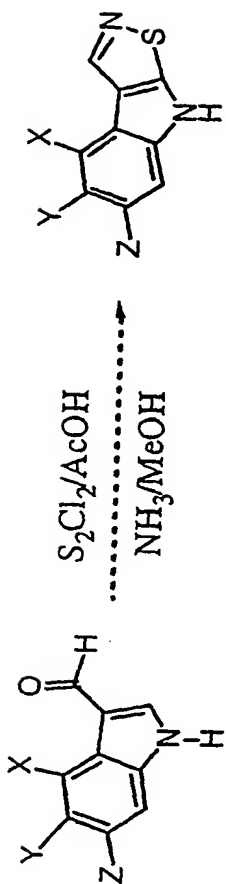


Figure 13

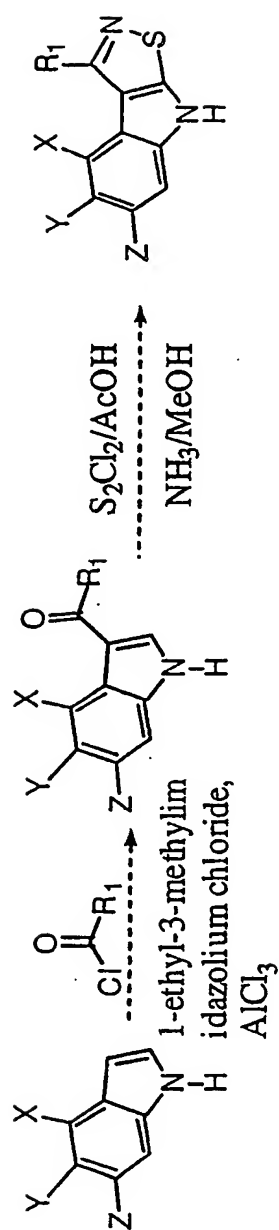


Figure 14

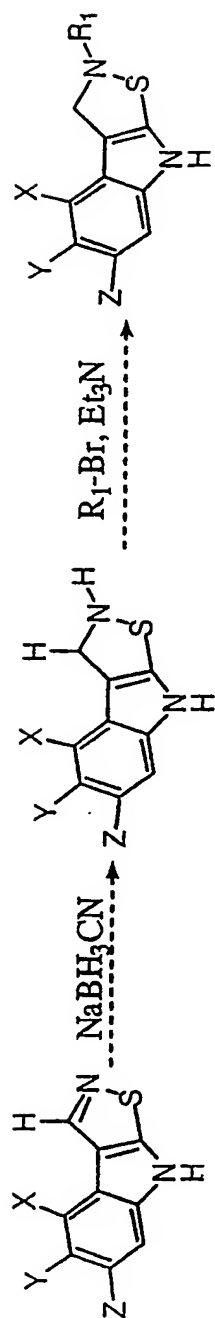


Figure 15

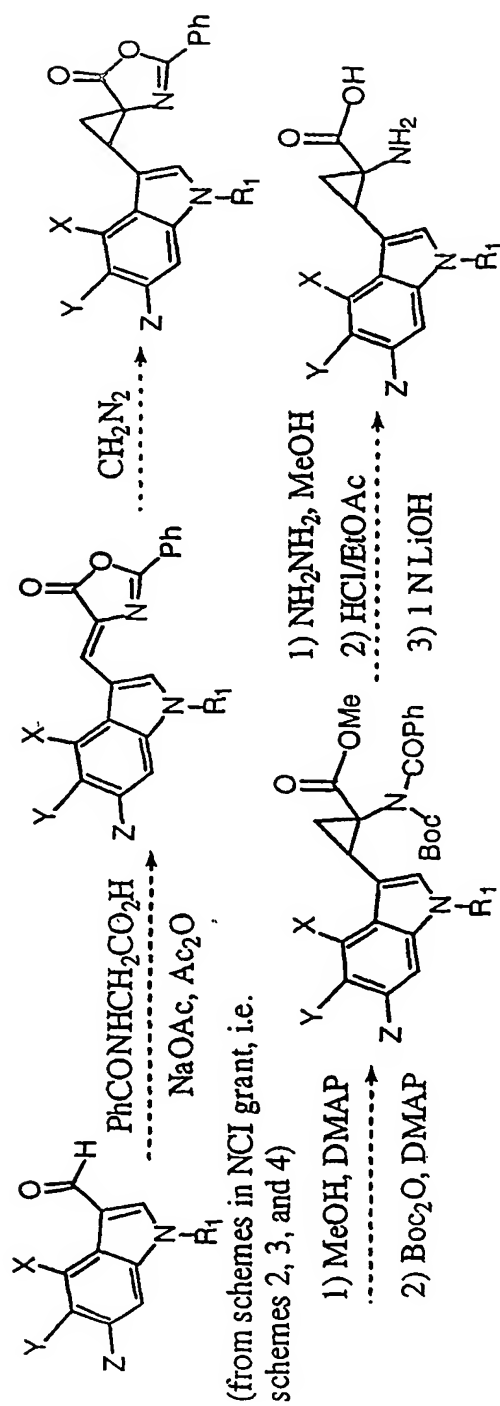


Figure 16



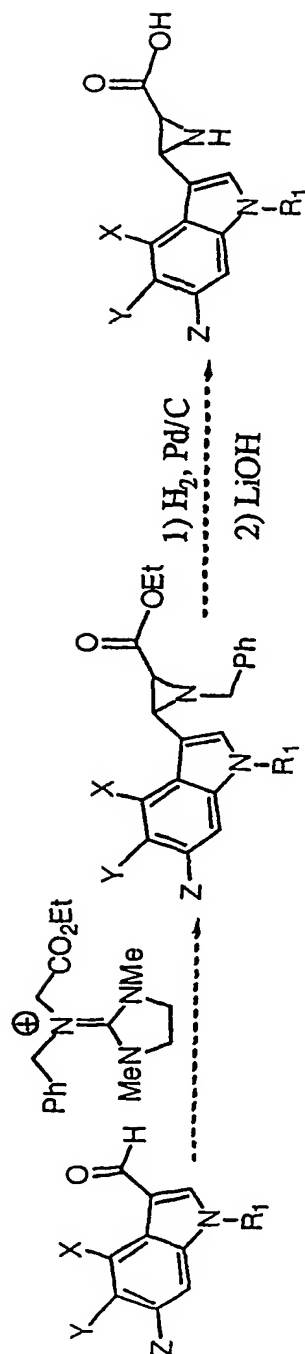


Figure 17

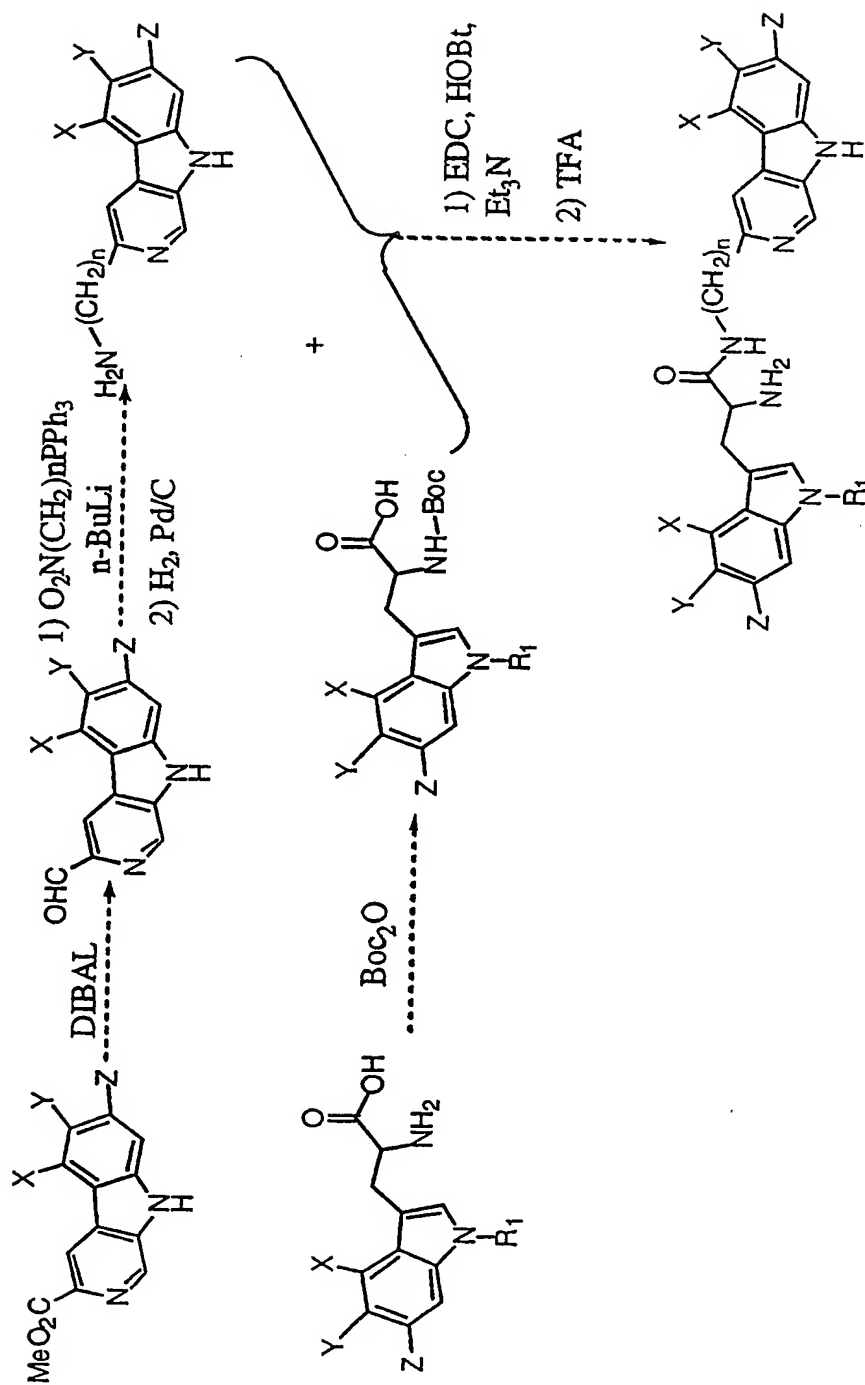


Figure 18

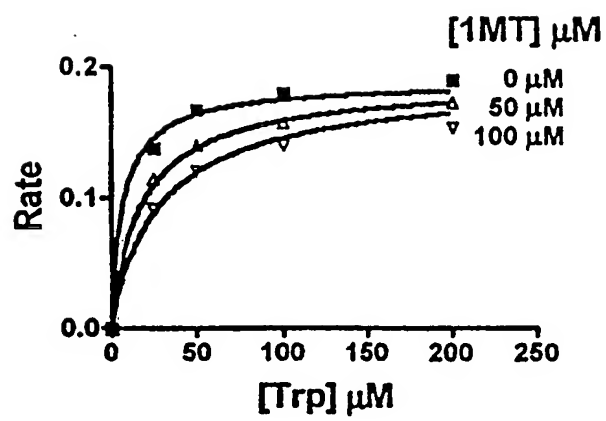


Fig. 19A

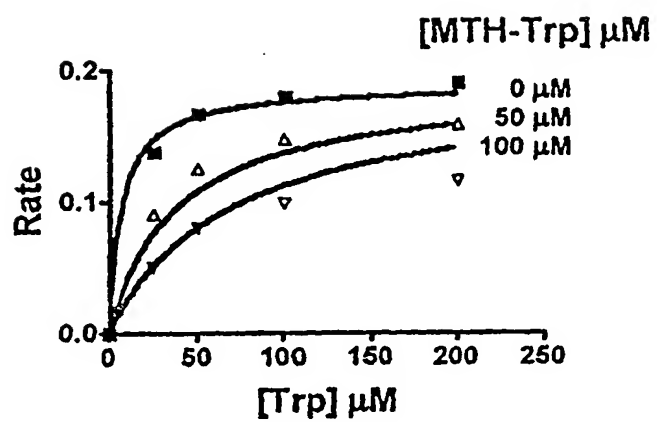
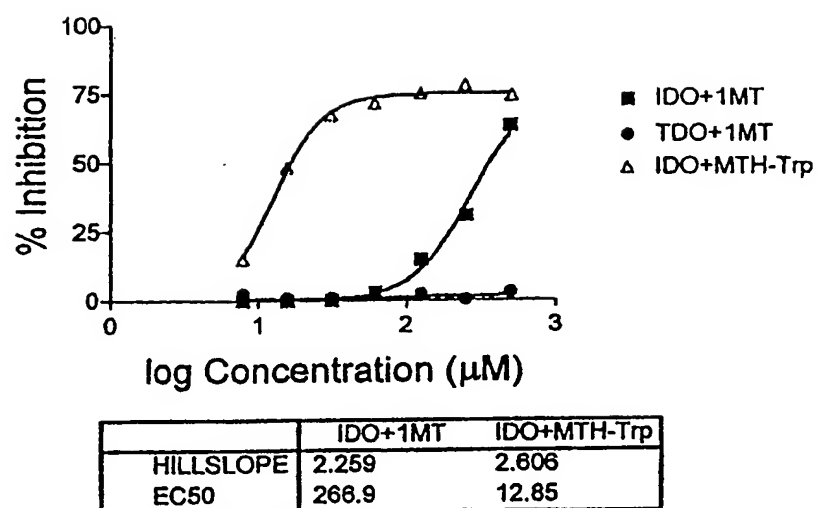


Fig. 19B

**Figure 20**

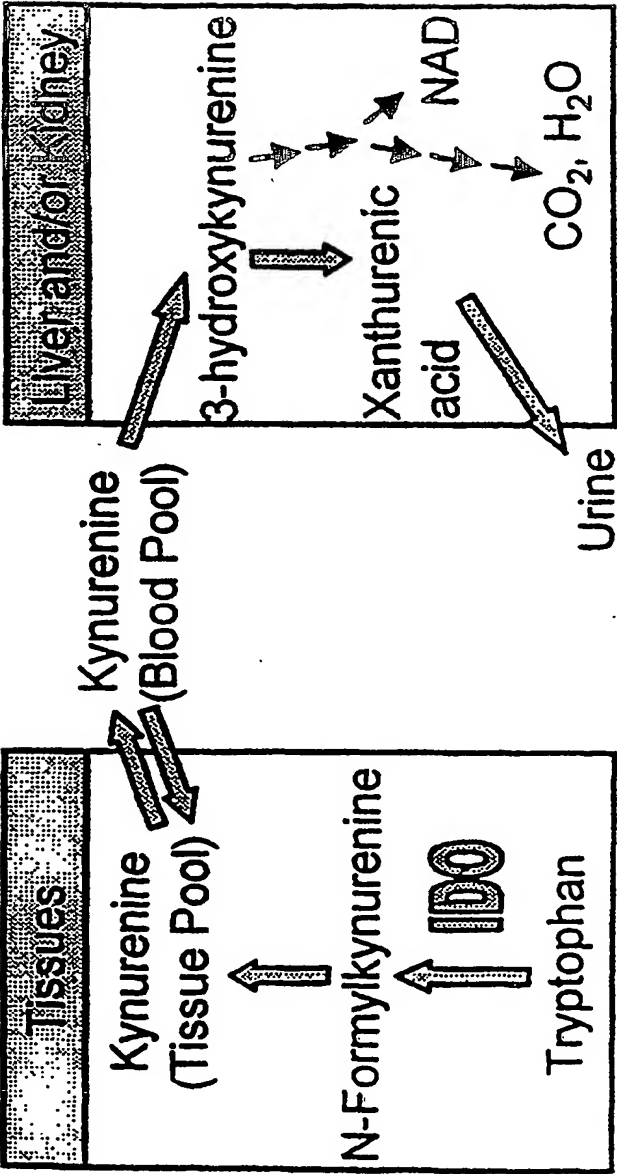


Figure 21

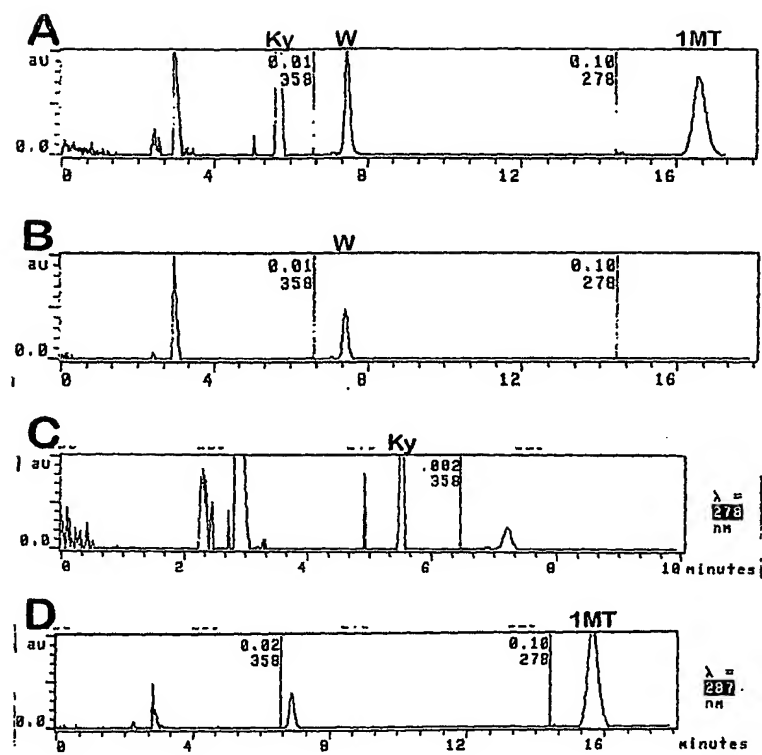


Figure 22

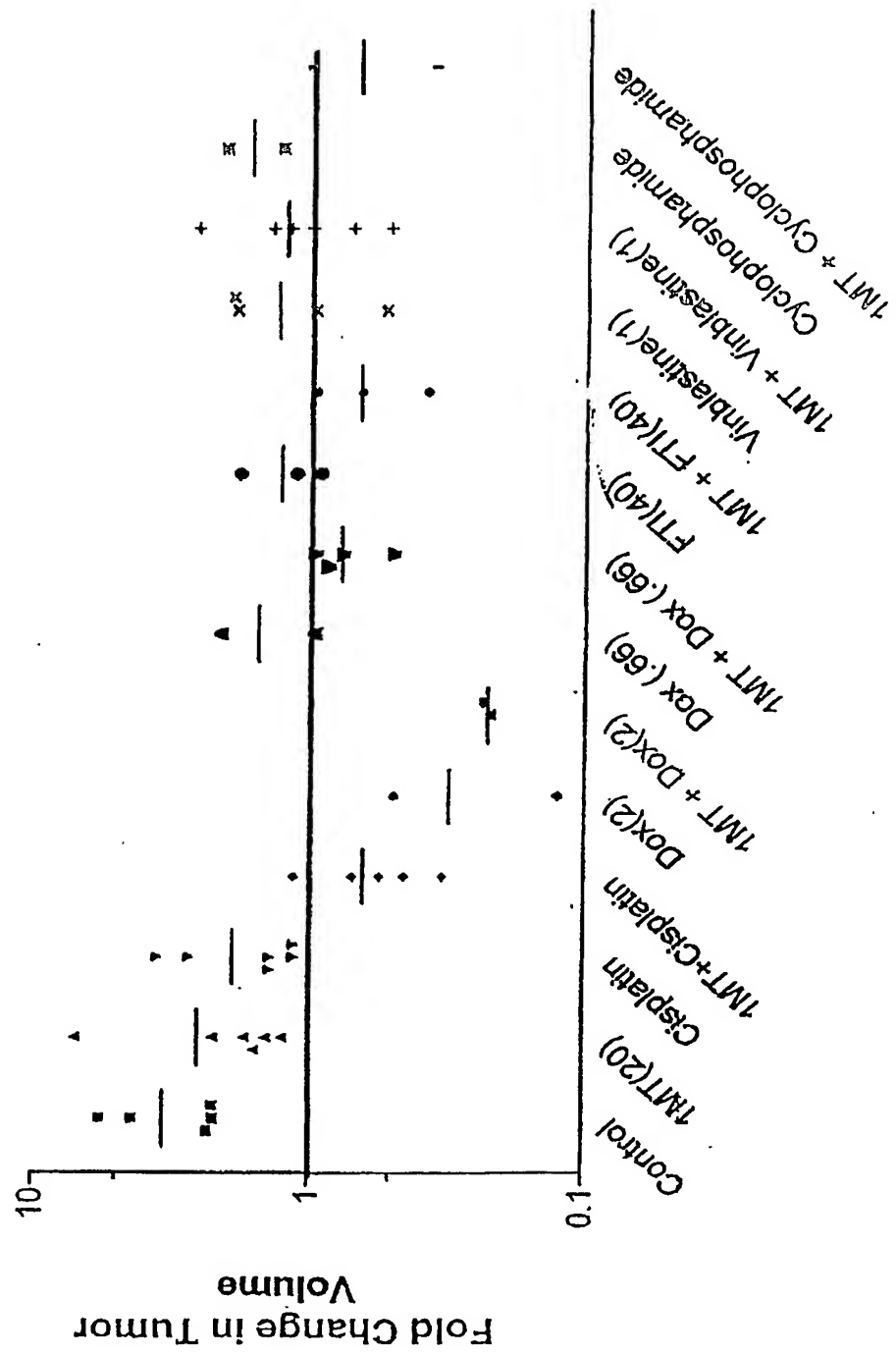


Figure 23



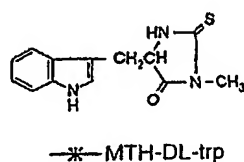
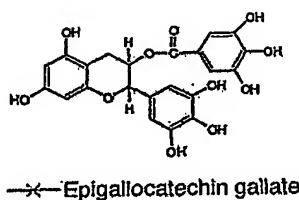
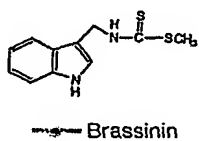
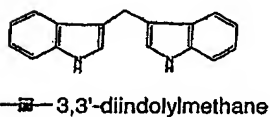
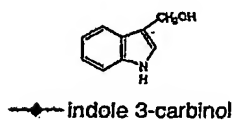
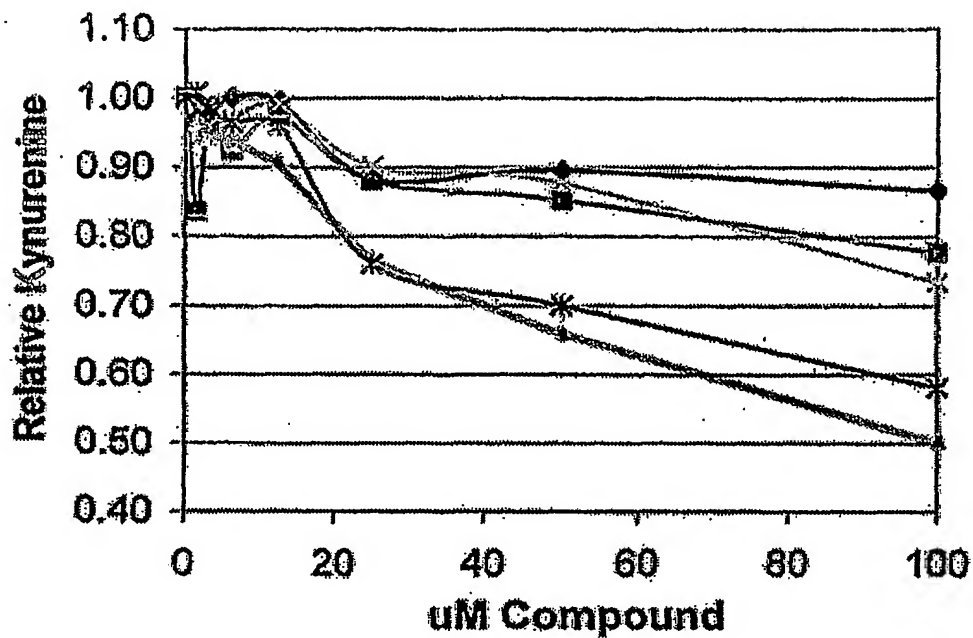


Figure 24

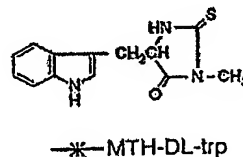
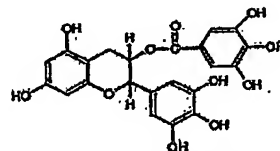
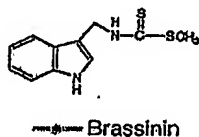
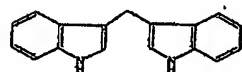
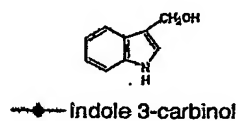
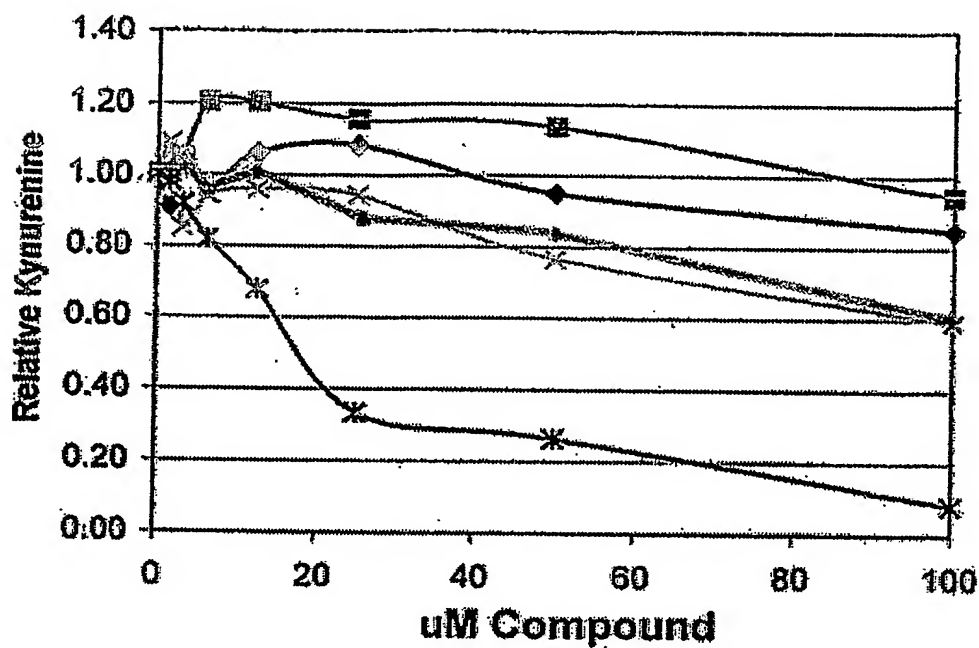


Figure 25A

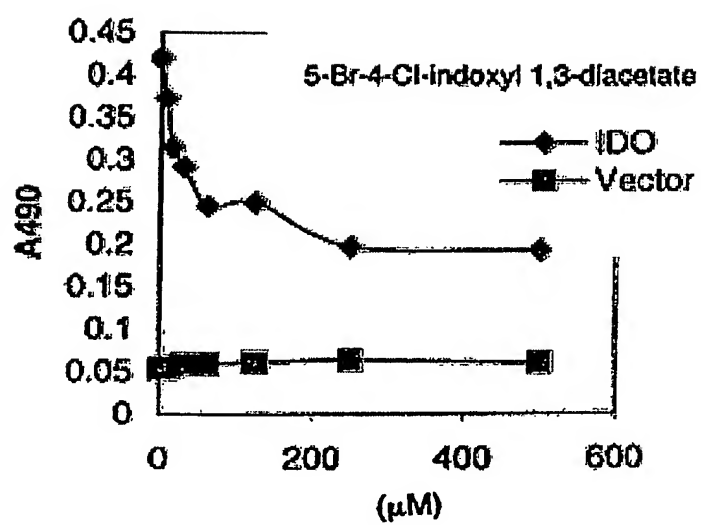


Figure 25B

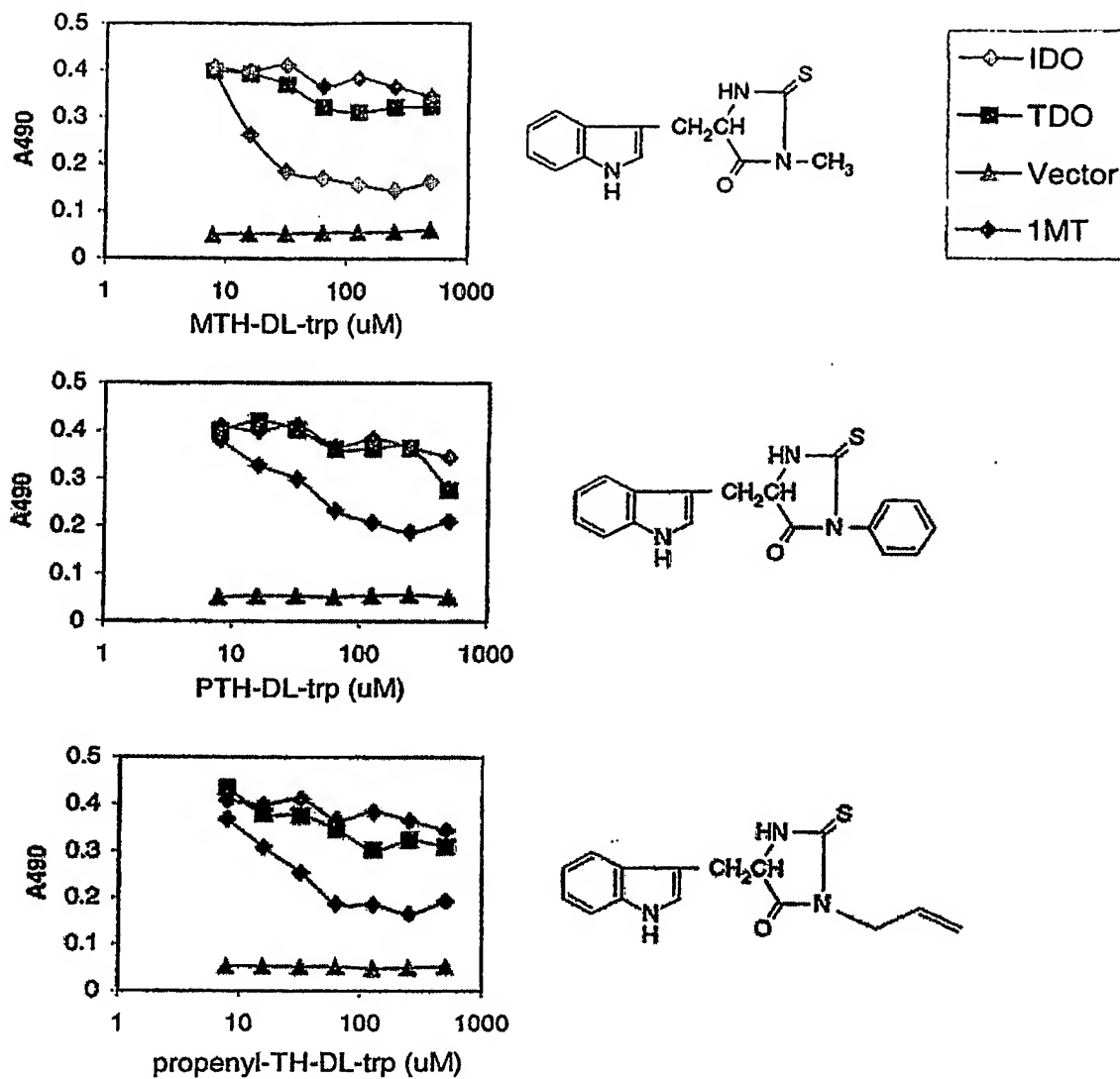
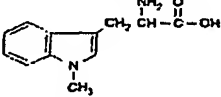
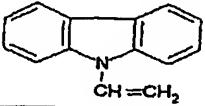
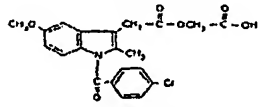
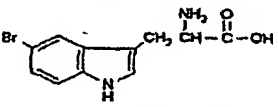
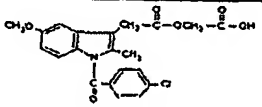
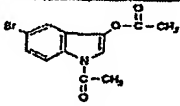


Figure 26

Compound name	Structure	IDO inhibition @ 250 $\mu$ M	TDO inhibition @ 250 $\mu$ M	Ratio IDO:TDO inhibition
1-DL-Methyl-Tryptophan		25.32%	4.74%	5.34
9-Vinylcarbazole		22.94%	19.33%	1.19
Acemetacin		30.25%	N.D.	N.D.
5-Bromo-DL-tryptophan		31.49%	18.05%	1.74
Acemetacin		32.55%	12.44%	2.62
5-Bromoindoxyl diacetate		59.72%	N.D.	N.D.

### Thiohydantoin (TH) derivatives of indoleamine

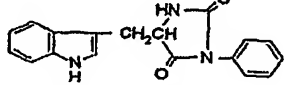
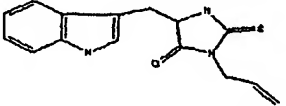
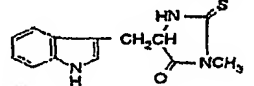
phenyl-TH-DL-trp (PTH-trp)		56.95%	17.83%	3.19
propenyl-TH-DL-trp (propTH-trp)		62.72%	25.17%	2.49
methyl-TH-DL-trp (MTH-trp)		68.40%	27.05%	2.53

Figure 27

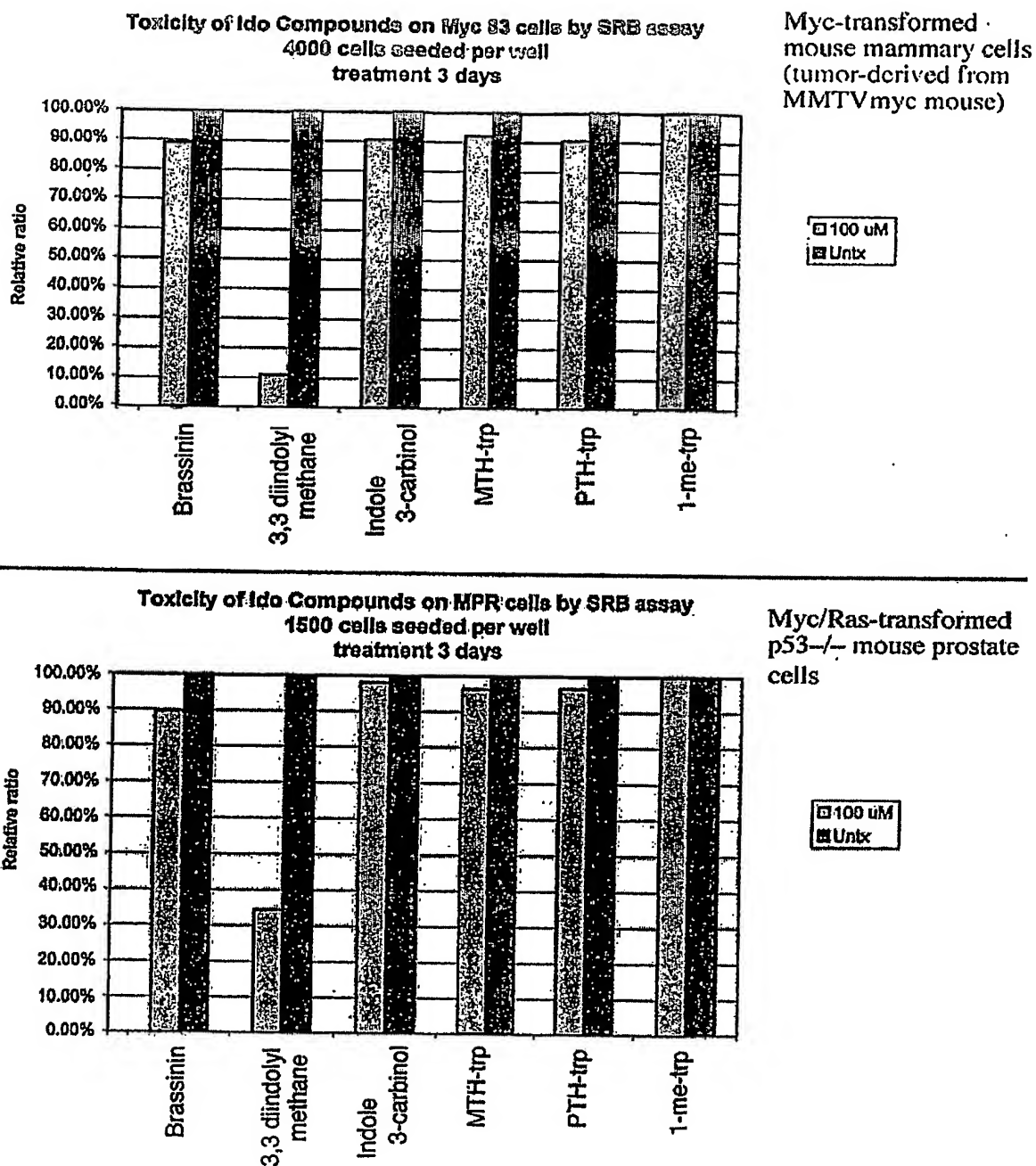


Figure 28

Figure 29

